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a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES,
FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,
UZ, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS,
MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent
(AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent
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MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI,
CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)— as to the applicant's entitlement to claim the priority of the
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BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC,
EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR,
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Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,

[Continued on next page]

(54) Title: QUINAZOLINES AS MMP-13 INHIBITORS

(57) Abstract: A compound selected from those of formula (I): in which: R₁ represents a group selected from hydrogen, amino, alkyl, alkenyl, aminoalkyl, aryl, arylalkyl, heterocycle, and cycloalkylalkyl, optionally substituted, W represents oxygen, sulphur, or =N-R', in which R' is as defined in the description, X₁, X₂ and X₃ represent nitrogen or -C-R₆ in which R₆ is as defined in the description, Y represents oxygen, sulphur, -NH, or -N(C₁-C₆)alkyl, Z represents oxygen, sulphur, -NR₇ in which R₇ is as defined in the description, and optionally carbon atom, n is an integer from 1 to 8 inclusive, Z₁ represents -CR₈R₉, wherein R₈ and R₉ are as defined in the description, A represents aromatic or non-aromatic, heterocyclic or non-heterocyclic ring system, m is an integer from 0 to 7 inclusive, the group(s) R₂ is (are) is as defined in the description, R₃ represents hydrogen, alkyl, alkenyl, alkynyl, or a group of formula: in which Z₂, B, R₃, P and q are as defined in the description, optionally, the racemic forms thereof, isomers thereof, N-oxides thereof, and the pharmaceutically acceptable salts thereof, and medicinal products containing the same are useful as specific inhibitors of type-13 matrix metalloprotease.

INTERNATIONAL SEARCH REPORT

In Application No
PCT/EP 02/01979

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/96 C07D401/12 C07D405/12 C07D409/12 C07D405/14
C07D409/14 C07D471/04 C07D403/12 C07D403/10 C07D401/10
C07D413/10 C07D401/06 A61K31/5025 A61K31/505

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, BEILSTEIN Data, EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	LIVERTON N J ET AL: "Nonpeptide glycoprotein IIB/IIIa inhibitors: substituted quinazolinones and quinazolinones as potent fibrinogen receptor antagonists" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 8, no. 5, 3 March 1998 (1998-03-03), pages 483-486, XP004136889 ISSN: 0960-894X see compound 7 page 481	17,18
X	H OGAWA ET AL: "Studies on positive inotropic agents V" CHEM PHARM BULL, vol. 36, no. 6, 1988, pages 2253-2258, XP001080174 see compound X chart I	17,18

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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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- *Z* document member of the same patent family

Date of the actual completion of the international search

4 June 2002

Date of mailing of the international search report

25/06/2002

Name and mailing address of the ISA

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Authorized officer

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INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 02/01979

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 ⁰⁰/(C07D471/04, 239:00, 221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	<p>DATABASE CHEMCATS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002201020 ORDER NO A1240/0056923 & "SCREENING COLLECTION" 28 March 2000 (2000-03-28), ZELINSKY INSTITUTE OF ORGANIC CHEMISTRY, 47 LENINSKY PROSPECT, MOSCOW, 117913 RUSSIA</p> <p style="text-align: center;">-/-</p>	1

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T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

& document member of the same patent family

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4 June 2002

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Name and mailing address of the ISA

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